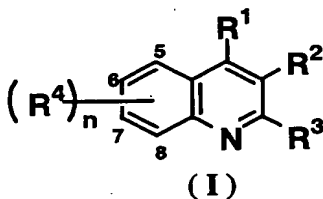


## Claims

1. A phosphodiesterase 10A (PDE10A) inhibitor which comprises a quinoline derivative represented by general formula (I)



[wherein n represents an integer of from 1 to 4, R¹ represents substituted or unsubstituted lower alkyl, -C(=Y)R⁹ (wherein Y represents an oxygen atom or a sulfur atom, and R⁹ represents a hydrogen atom, hydroxy, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, substituted or unsubstituted aryl, a substituted or unsubstituted heterocyclic group, amino, mono-lower alkylamino or di-lower alkylamino), hydroxy, halogen, cyano, amino, mono-lower alkylamino or di-lower alkyl amino, R² represents a hydrogen atom, amino, nitro, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, -S(O)<sub>m</sub>R¹² (wherein R¹² represents substituted or unsubstituted lower alkyl or substituted or unsubstituted aryl, and m represents an integer of from 0 to 2), mono-lower alkylamino or di-lower alkylamino, R³ represents a hydrogen atom, halogen, hydroxy, substituted or unsubstituted lower alkyl, substituted or unsubstituted

cycloalkyl, substituted or unsubstituted aryl or a substituted or unsubstituted heterocyclic group, or  $R^2$  and  $R^3$  form a substituted or unsubstituted condensed ring together with two carbon atoms on roots thereof, and  $R^4$  represents a hydrogen atom, halogen, cyano, amino, nitro, substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkoxy,  $-S(O)_{ma}R^{12a}$  (wherein  $R^{12a}$  and  $ma$  have the same meanings as those of the above  $R^{12}$  and  $m$  respectively),  $-C(=Y^1)R^{9a}$  (wherein  $Y^1$  and  $R^{9a}$  have the same meanings as those of the above  $Y$  and  $R^9$  respectively), mono-lower alkylamino or di-lower alkylamino, and when  $n$  is an integer of 2 or more,  $R^4$ s each may be the same or different], or a pharmaceutically acceptable salt thereof as an active ingredient.

2. The PDE10A inhibitor according to claim 1, wherein  $R^1$  is substituted or unsubstituted lower alkyl,  $-C(=Y)R^9$  (wherein  $Y$  and  $R^9$  have the same meanings as those above-mentioned respectively), cyano or amino, and  $R^2$  is substituted or unsubstituted lower alkyl.

3. The PDE10A inhibitor according to claim 1, wherein  $R^1$  is methyl, hydroxymethyl, acetyl, carboxy, methoxycarbonyl, cyano or amino.

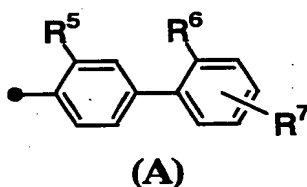
4. The PDE10A inhibitor according to any one of claims 1 to 3, wherein  $R^3$  is substituted or unsubstituted aryl or a

substituted or unsubstituted heterocyclic group.

5. The PDE10A inhibitor according to any one of claims 1 to 3, wherein  $R^3$  is substituted or unsubstituted biphenyl or substituted or unsubstituted piperazinyl.

6. The PDE10A inhibitor according to any one of claims 1 to 3, wherein  $R^3$  is substituted or unsubstituted biphenyl-4-yl or substituted or unsubstituted piperazin-1-yl.

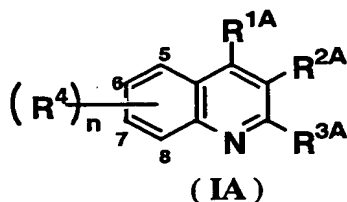
7. The PDE10A inhibitor according to any one of claims 1 to 3, wherein  $R^3$  is general formula (A)



[wherein  $R^5$ ,  $R^6$  and  $R^7$ , which may be the same or different, each represent a hydrogen atom, halogen, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy, aryl, substituted or unsubstituted lower alkanoyl or a substituted or unsubstituted heterocyclic group] or piperazin-1-yl having substituted or unsubstituted lower alkyl or substituted or unsubstituted aryl as a substituent on the 4-position.

8. The PDE10A inhibitor according to any one of claims 1 to 7, wherein  $n$  is 1, and  $R^4$  is halogen.

9. A quinoline derivative represented by general formula (IA)



[wherein  $n$  and  $R^4$  have the same meanings as those above-mentioned respectively,  $R^{1A}$  represents lower alkyl, hydroxy lower alkyl,  $-C(=Y)R^{9A}$  (wherein  $Y$  has the same meaning as that above-mentioned, and  $R^{9A}$  represents a hydrogen atom, lower alkyl, lower alkoxy, amino, mono-lower alkylamino or di-lower alkylamino), cyano, amino, mono-lower alkylamino or di-lower alkylamino,  $R^{2A}$  represents amino, nitro, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkoxy,  $-S(O)_mR^{12}$  (wherein  $R^{12}$  and  $m$  have the same meanings as those above-mentioned respectively), mono-lower alkylamino or di-lower alkylamino, and  $R^{3A}$  represents a substituted or unsubstituted heterocyclic group or substituted or unsubstituted aryl, or  $R^{2A}$  and  $R^{3A}$  form cycloalkane condensed with a substituted or unsubstituted benzene ring together with two carbon atoms on roots thereof, provided that when  $R^{1A}$  is hydroxymethyl or  $-C(=O)R^{9B}$  (wherein  $R^{9B}$  represents a hydrogen atom, ethyloxy, *n*-propylamino or diethylamino),  $R^{3A}$  is not 4-cyclohexylphenyl, when  $R^{1A}$  is hydroxymethyl or  $-C(=O)R^{9C}$  (wherein  $R^{9C}$  represents methoxy, amino, mono-lower alkylamino or di-lower alkylamino) and  $R^{2A}$  is carboxyethyl or methoxycarbonyl ethyl,  $R^{3A}$  is not 4-(2-fluorophenyl)phenyl nor

biphenyl-4-yl, and when  $R^{1A}$  is hydroxymethyl or  $-C(=O)R^{9D}$  (wherein  $R^{9D}$  represents amino or lower alkoxy) and  $R^{2A}$  is methyl,  $R^{3A}$  is not biphenyl-4-yl], or a pharmaceutically acceptable salt thereof.

10. The quinoline derivative or the pharmaceutically acceptable salt thereof according to claim 9, wherein  $R^{3A}$  is substituted or unsubstituted biphenyl or substituted or unsubstituted piperazin-1-yl.

11. The quinoline derivative or the pharmaceutically acceptable salt thereof according to claim 9, wherein  $R^{3A}$  is substituted or unsubstituted biphenyl or piperazin-1-yl having substituted or unsubstituted lower alkyl or substituted or unsubstituted aryl as a substituent on the 4-position.

12. The quinoline derivative or the pharmaceutically acceptable salt thereof according to claim 9, wherein  $R^{3A}$  is piperazin-1-yl having substituted or unsubstituted aryl as a substituent on the 4-position.

13. The quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 12, wherein  $R^{1A}$  is lower alkyl, hydroxy lower alkyl,  $-C(=O)R^{9E}$  (wherein  $R^{9E}$  represents lower alkyl or lower alkoxy) or cyano, and  $R^{2A}$  is substituted or unsubstituted lower alkyl.

14. The quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 13, wherein  $R^{1A}$  is methyl, hydroxymethyl, acetyl,

methoxycarbonyl or cyano.

15. The quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 14, wherein  $n$  is 1, and  $R^4$  is halogen.

16. A PDE10A inhibitor which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

17. An agent for treating and/or preventing a disease caused by enhancing the activity of PDE10A, which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

18. An agent for treating and/or preventing dyskinesia, which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

19. An antitumor agent which comprises the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 as an active ingredient.

20. An agent for treating and/or preventing dyskinesia, which comprises a compound having PDE10A inhibitory activity or a pharmaceutically acceptable salt thereof as an active ingredient.

21. A pharmaceutical composition which comprises the quinoline derivative or the pharmaceutically acceptable salt

thereof according to any one of claims 9 to 15 as an active ingredient.

22. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8 for manufacture of a PDE10A inhibitor.

23. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of a PDE10A inhibitor.

24. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8 for manufacture of an agent for treating and/or preventing a disease caused by enhancing the activity of PDE10A.

25. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of an agent for treating and/or preventing a disease caused by enhancing the activity of PDE10A function.

26. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of an agent for treating and/or preventing dyskinesia.

27. Use of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15 for manufacture of an antitumor agent.

28. A method for treating a disease caused by enhancing the activity of PDE10A, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 1 to 8.

29. A method for treating a disease caused by enhancing the activity of PDE10A, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15.

30. A method for treating dyskinesia, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to one any of claims 9 to 15.

31. A method for treating a malignant tumor, which comprises administering an effective amount of the quinoline derivative or the pharmaceutically acceptable salt thereof according to any one of claims 9 to 15.

32. Use of a compound having PDE10A inhibitory activity or a pharmaceutically acceptable salt thereof for manufacture of an agent for treating and/or preventing dyskinesia.

33. A method for treating dyskinesia, which comprises administering an effective amount of a compound having PDE10A inhibitory activity or a pharmaceutically acceptable salt



thereof.